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(54) Title: SKIN CARE COMPOSITIONS (57) Abstract <p>The present invention relates to topical compositions for effecting immediate improvements in the appearance and feel of skin, in addition to providing chronic improvements in skin appearance. The compositions comprise: a) from 0.1 % to 10 % of an organic particulate material having a refractive index of from 1.3 to 1.7, the particulate material being dispersed in the composition and having a volume average particle size in the range of from about 10 to about 30 μm; b) from about 0.05 % to about 2.5 % by weight of a green, platelet-type interference pigment material having a TiO_2 layer thickness of from about 120 nm to about 160 nm or a whole number multiple thereof; c) from about 0 % to about 3 % of an inorganic matting agent; and d) a dermatologically acceptable, topical carrier. Additional chronic improvements in skin conditions are effected by including a chronic active selected from Vitamin B3 compounds, retinoids, and mixtures thereof. Particularly preferred embodiments are oil in water emulsions for non-facial application.</p>		

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Skin Care Compositions

Technical Field

The present invention relates to the field of topical compositions for improving the appearance and feel of human skin. More particularly, the invention relates to topical compositions which provide good coverage of skin imperfections, e.g., pores and uneven skin tone, while retaining a natural skin appearance. The compositions of the invention are especially useful for the cosmetic treatment of non-facial parts of the body, especially the hands.

Background

15 A variety of compounds have been described in the art as being useful for regulating fine lines, wrinkles and other forms of undesirable skin surface texture. For example, retinoids, such as retinol or its esters, and Vitamin B₃ compounds, particularly niacinamide, have recently been found to provide measurable benefits in regulating skin condition, including regulating fine lines, wrinkles and other forms of uneven or rough surface texture associated with aged or photodamaged skin. However, many materials require multiple applications over an extended period to provide such appearance benefits. It would be advantageous to provide a topical composition which provides a more immediate improvement in the appearance of fine lines, wrinkles, pores and other forms of undesirable skin surface texture, and also to effect an immediate improvement in the feel of the skin.

Particulate materials, including both organic and inorganic particles, have been included in skin care compositions. See, for example, 'Quantification of the Soft-Focus Effect', Cosmetics & Toiletries, Vol. 111, July 1996, pp. 57-61, which discloses that one can physically fill in skin lines with a reflective substance such as TiO₂. US-A-4,892,726, issued to Toshiba Silicone Co. Ltd, describes the use of polymethylsilsesquioxane powders in makeup and cosmetic compositions which are smooth upon application and impart natural colour. These particles are now available, in a variety of particle size

grades, from Toshiba Silicone Co. Ltd under the name Tospearl®. US-A-5,223,559 describes the use of a variety of particulate fillers of particle size from 0.5 to 50 µm, particularly from 1 to 15 µm, for blurring skin defects. The particles disclosed therein include Tospearl® 3120 which has a particle size of about 12 µm. Furthermore,
5 EP-A-692,242 disclose the use of hollow, deformable particles of a size of from 1 to 250 µm, most preferably 18 µm for reducing the sticky feel of compositions rich in fatty substances. The compositions of EP-A-692,242 can comprise a wide range of biologically active agents, including retinol and its esters.

WO98/47470 describes cosmetic compositions, in particular foundation compositions for
10 facial treatment, which significantly reduce the appearance of wrinkles and fine lines. The compositions comprise nylon particles having a volume average particle size in the range of from about 5 microns to about 30 microns, preferably from about 10 microns to about 20 microns, and a liquid, polyol carboxylic acid ester.

Whilst the compositions and disclosures of the prior art provide useful advances in the art
15 of cosmetic skin treatment, there remains the need for improved for improved compositions which deliver immediate improvements in skin feel and appearance, particularly for non-facial parts of the body, and especially for environmentally stressed areas of the body such as hands. The compositions also need to be non-greasy and easy to apply.

20 It has now been found that improvements in both the short and long-term appearance and feel of skin can be enhanced by compositions, especially oil-in-water emulsions, which comprise, in addition to one or more organic, particulate actives of defined refractive index and particle size, an inorganic platelet-type interference pigment material. Further immediate visual benefits are realised by the addition of a low level of an inorganic
25 matting agent, such as titanium dioxide. Inclusion of an active for chronically regulating skin condition, e.g., for regulating fine lines, wrinkles, pores and other forms of undesirable skin surface texture, provides additional long-term benefits in skin appearance and feel.

It is an object of the present invention to provide topical compositions suitable for
30 imparting an essentially immediate improvement in skin feel and appearance. Another object of the present invention is to provide such topical compositions which are additionally useful for chronically regulating skin appearance and/or condition, especially regulating textural or tonal discontinuities in skin (e.g., pores, fine lines, wrinkles, uneven skin colour).

The present invention also relates to cosmetic methods of improving skin appearance and/or condition, in particular for providing a more even skin tone, by topical application of the subject compositions.

Summary of the Invention

5 The present invention relates to topical compositions comprising:

- a) from 0.1% to 10% of a organic particulate material having a refractive index of from 1.3 to 1.7, the particulate material being dispersed in the composition and having a volume average particle size in the range of from about 10 to about 30 μm ;
- 10 b) from about 0.05% to about 2.5% by weight of a green, platelet-type interference pigment material having a TiO_2 layer thickness of from about 120nm to about 160nm or a whole number multiple thereof;
- c) from about 0% to about 2.5% of an inorganic matting agent; and
- d) a dermatologically acceptable, topical carrier.

15 The compositions are useful for imparting an essentially immediate visual improvement in skin appearance and feel while maintaining a natural skin appearance.

The invention further relates to cosmetic methods of improving skin appearance and/or condition by topical application of the subject compositions.

Detailed Description of the Invention

20 All percentages and ratios used herein are by weight of the total composition and all measurements made are at 25°C, unless otherwise designated.

All publications cited herein are hereby incorporated by reference in their entirety.

The term "dermatologically-acceptable," as used herein, means that the compositions or components thereof so described are suitable for use in contact with human skin without undue toxicity, incompatibility, instability, allergic response, and the like.

25 The term "safe and effective amount" as used herein means an amount of a compound, component, or composition sufficient to significantly induce a positive benefit, preferably a positive skin appearance or feel benefit, including independently the benefits disclosed herein, but low enough to avoid serious side effects, i.e., to provide a reasonable benefit to risk ratio, within the scope of sound medical judgement.

30 Active and other ingredients useful herein may be categorised or described herein by their cosmetic and/or therapeutic benefit or their postulated mode of action. However, it is to be understood that the active and other ingredients useful herein can in some instances

provide more than one cosmetic and/or therapeutic benefit or operate via more than one mode of action. Therefore, classifications herein are made for the sake of convenience and are not intended to limit an ingredient to the particularly stated application or applications listed.

- 5 The compositions of the invention are useful for topical application and for providing an essentially immediate (i.e. acute) improvement in skin feel and appearance following application of the composition to the skin. Without intending to be limited by theory, it is believed that this acute improvement results at least in part from therapeutic coverage or masking of skin imperfections by the particulate materials. Preferred embodiments of the
- 10 invention are also useful for providing visual improvements in skin appearance or condition following multiple topical applications of the composition to the skin. The compositions provide the visual benefits without imparting an unacceptable skin appearance such as skin whitening. Furthermore the compositions are easy to apply without caking or feeling greasy.
- 15 More particularly, the compositions of the present invention are useful for regulating skin condition, including regulating visible and/or tactile discontinuities in skin, including but not limited to visible and/or tactile discontinuities in skin texture and/or colour, more especially discontinuities associated with skin ageing. Such discontinuities may be induced or caused by internal and/or external factors. Extrinsic factors include ultraviolet
- 20 radiation (e.g., from sun exposure), environmental pollution, wind, heat, low humidity, harsh surfactants, abrasives, and the like. Intrinsic factors include chronological ageing and other biochemical changes from within the skin.

Regulating skin condition includes prophylactically and/or therapeutically regulating skin condition. As used herein, prophylactically regulating skin condition includes delaying,

25 minimising and/or preventing visible and/or tactile discontinuities in skin. As used herein, therapeutically regulating skin condition includes ameliorating, e.g., diminishing, minimising and/or effacing, such discontinuities. Regulating skin condition involves improving skin appearance and/or feel, e.g., providing a smoother, more even appearance and/or feel. As used herein, regulating skin condition includes regulating signs of ageing.

30 "Regulating signs of skin ageing" includes prophylactically regulating and/or therapeutically regulating one or more of such signs (similarly, regulating a given sign of skin ageing, e.g., lines, wrinkles or pores, includes prophylactically regulating and/or therapeutically regulating that sign).

It is to be understood that the present invention is not to be limited to regulation of the

35 above mentioned "signs of skin ageing" which arise due to mechanisms associated with

skin ageing, but is intended to include regulation of said signs irrespective of the mechanism of origin. As used herein, "regulating skin condition" is intended to include regulation of such signs irrespective of the mechanism of origin.

5 Compositions of the present invention are especially useful for treatment of non-facial areas of the body.

Organic particulate material

10 The compositions of the present invention comprise an organic particulate material having a refractive index of from about 1.3 to about 1.7, the particulate material being dispersed in the composition and having a volume average particle size in the range of from about 10 to about 30 μm , preferably from about 15 to about 25 μm . The volume average particle size is measured when the particulate material is in the neat form i.e. in the essentially pure, powder form prior to combination with the carrier of the invention. Particular methods of measuring particle size may, however, require the particulate material to be dispersed in an inert carrier, such as a pure oil, in order to measure the particle size distribution. Particle size can be determined by any suitable method known in the art, such as by using coulter-counter equipment or the ASTM Designation E20 - 85 "Standard Practice for Particle Size Analysis of Particulate Substances in the Range of 0.2 to 75 Micrometers by Optical Microscopy", ASTM Volume 14.02, 1993, incorporated herein by reference.

20 Refractive index can be determined by conventional methods. For example, a method for determining the refractive index which is applicable to the present invention is described in J. A. Dean, Ed., Lange's Handbook of Chemistry, 14th Ed., McGraw Hill, New York, 1992, Section 9, Refractometry, incorporated herein by reference in its entirety. The refractive index is preferably in the range from about 1.35 to about 1.6, this range closely matching the refractive index of skin.

25 The compositions of the present invention preferably comprise from about 0.1% to about 10%, more preferably from about 0.3% to about 5%, especially from about 0.5% to about 2%, of the organic particulate material.

30 Preferred particulates are free-flowing, porous, materials, especially those having spheroidal particles. Suitable organic particulate materials include those made of poly-methylsilsesquioxane, referenced above, polyamide, polythene, polyacrylonitrile, polyacrylic acid, polymethacrylic acid, polystyrene, polytetrafluoroethylene (PTFE) and poly(vinylidene chloride). Copolymers derived from monomers of the aforementioned materials can also be used. Preferred are polyamides, especially nylon. Particularly

preferred for use herein are porous, nylon particles having a volume average particle size in the range of from about 15 to about 25 μm . Suitable nylon particles are commercially available from Elf Atochem SA, Paris, France under the tradename Orgasol[®]. A particularly preferred nylon for use herein is Orgasol[®] 2002 D NAT COS.

- 5 The compositions may contain other inorganic or organic particulate materials. However, it is preferred that the organic particulates in the compositions of the invention consist essentially of the particulate material described in this section entitled "Organic Particulate Material."

Interference pigments

- 10 A further essential component of the compositions of the present invention is a green, platelet-type interference pigment material having a TiO_2 layer thickness of from about 120nm to about 160nm or a whole number multiple thereof. Preferably, the interference pigment material comprises platelet type mica which is coated with TiO_2 . The colour of the reflected light varies depending on the thickness of the layer. The interference
15 pigment material used in the present invention comprises at least a proportion of pigment material having a TiO_2 layer thickness of from about 120nm to about 160nm or a whole number multiple thereof such that the pigment itself has an overall green appearance when applied to skin as a result of light reflection from the pigment platelets. Without
20 wishing to be bound by theory it is believed that the inclusion of a low level of a green interference pigment helps offset areas of redness in the skin, without itself imparting an unnatural green appearance. In this way it assists in providing an overall even skin tone. Preferred interference pigment materials for use in the composition of the invention have TiO_2 layer thicknesses of about 150nm and about 250 nm, preferably about 150 nm. Suitable examples are those supplied by Merck under the trade name Timiron[®],
25 especially Timiron[®] Silk Green, or supplied by Mearl under the trade name Flamenco[®], especially Flamenco[®] Satin Green.

The interference pigment is generally present at a level of from about 0.05% to about 2.5%, preferably from about 0.2% to about 2%, more preferably from about 0.5% to about 1.5%.

30 Carrier

The compositions of the present invention comprise a dermatologically acceptable carrier, suitable for topical application to the skin within which the essential materials and optional other materials are incorporated to enable the essential materials and optional components to be delivered to the skin at an appropriate concentration. The carrier can

thus act as a diluent, dispersant, solvent, or the like for the particulate material(s) and the active which ensures that they can be applied to and distributed evenly over the selected target at an appropriate concentration.

5 The topical compositions useful in the subject invention may be made into a wide variety of product forms such as are known in the art. These include, but are not limited to, lotions, creams, gels, sprays, ointments and mousses. Highly preferred carriers are liquid or semi-solid. Preferably the carrier is in the form of a lotion, cream or a gel, more preferably one which has a sufficient thickness or yield point to resist sedimentation of the particulates. The carrier can itself be inert or it can possess dermatological benefits of
10 its own. The carrier should also be physically and chemically compatible with the essential components described herein, and should not unduly impair stability, efficacy or other use benefits associated with the compositions of the present invention.

Preferred carriers contain a dermatologically acceptable, hydrophilic diluent. Suitable hydrophilic diluents include water, organic hydrophilic diluents such as C₁ - C₄
15 monohydric alcohols and low molecular weight glycols and polyols, including propylene glycol, polyethylene glycol (e.g. of MW 200-600), polypropylene glycol (e.g. of MW 425-2025), glycerol, butylene glycol, 1,2,4-butanetriol, sorbitol esters, 1,2,6-hexanetriol, ethanol, iso-propanol, sorbitol esters, ethoxylated ethers, propoxylated ethers and combinations thereof. The diluent is preferably liquid. Water is an especially preferred
20 diluent. The composition preferably comprises at least about 60% of the hydrophilic diluent.

Preferred carriers comprise an emulsion comprising a hydrophilic phase, especially an aqueous phase, and a hydrophobic phase e.g., a lipid, oil or oily material. As well known
25 to one skilled in the art, the hydrophilic phase will be dispersed in the hydrophobic phase, or vice versa, to form respectively hydrophilic or hydrophobic dispersed and continuous phases, depending on the composition ingredients. In emulsion technology, the term "dispersed phase" is a term well-known to one skilled in the art which means that the phase exists as small particles or droplets that are suspended in and surrounded by a continuous phase. The dispersed phase is also known as the internal or discontinuous
30 phase. The emulsion may be or comprise (e.g., in a triple or other multi-phase emulsion) an oil-in-water emulsion or a water-in-oil emulsion such as a water-in-silicone emulsion. Oil-in-water emulsions typically comprise from about 1% to about 50% (preferably about 1% to about 30%) of the dispersed hydrophobic phase and from about 1% to about 99% (preferably from about 40% to about 90%) of the continuous hydrophilic phase; water-in-
35 oil emulsions typically comprise from about 1% to about 98% (preferably from about

40% to about 90%) of the dispersed hydrophilic phase and from about 1% to about 50% (preferably about 1% to about 30%) of the continuous hydrophobic phase. The emulsion may also comprise a gel network, such as described in G. M. Eccleston, Application of Emulsion Stability Theories to Mobile and Semisolid O/W Emulsions, Cosmetics & Toiletries, Vol. 101, November 1996, pp. 73-92, incorporated herein by reference. Preferred compositions herein are oil-in-water emulsions.

Preferred compositions have an apparent viscosity of from about 5,000 to about 200,000 mPa.s (centipoise). For example, preferred lotions have an apparent viscosity of from about 10,000 to about 40,000 mPa.s; preferred creams have an apparent viscosity of from about 30,000 to about 160,000 mPa.s. Apparent viscosity can be determined using a Brookfield DVII RV viscometer, spindle TD, at 5rpm, or the equivalent thereof. The viscosity is determined on the composition after the composition has been allowed to stabilise following its preparation, generally at least 24 hours under conditions of 25°C +/- 1°C and ambient pressure after preparation of the composition. Apparent viscosity is measured with the composition at a temperature of 25°C +/- 1°C, after 30 seconds spindle rotation.

The compositions of the present invention are usually formulated to have a pH of 9.5 or below and in general have a pH in the range from about 4.5 to about 9, more preferably from about 5 to about 8.5.

Some compositions, particularly those comprising an additional active such as salicylic acid, require a lower pH in order for the additional active to be fully efficacious. These compositions are usually formulated to have a pH of from about 2.5 to about 5, more preferably from about 2.7 to about 4.

Optional Components

The topical compositions of the present invention may comprise a wide variety of optional components, provided that such optional components are physically and chemically compatible with the essential components described herein, and do not unduly impair stability, efficacy or other use benefits associated with the compositions of the present invention. Optional components may be dispersed, dissolved or the like in the carrier of the present compositions.

Optional components include emollients, oil absorbents, antimicrobial agents, binders, buffering agents, denaturants, cosmetic astringents, external analgesics, film formers, humectants, opacifying agents, perfumes, pigments, skin soothing and healing agents, preservatives, propellants, skin penetration enhancers, solvents, suspending agents,

emulsifiers, cleansing agents, thickening agents, solubilising agents, waxes, sunscreens, sunless tanning agents, antioxidants and/or radical scavengers, chelating agents, anti-acne agents, anti-inflammatory agents, desquamation agents/exfoliants, organic hydroxy acids, vitamins and natural extracts. Nonexclusive examples of such materials are described in

5 Harry's Cosmeticology, 7th Ed., Harry & Wilkinson (Hill Publishers, London 1982); in Pharmaceutical Dosage Forms- Disperse Systems; Lieberman, Rieger & Banker, Vols. 1 (1988) & 2 (1989); Marcel Decker, Inc.; in The Chemistry and Manufacture of Cosmetics, 2nd. Ed., deNavarre (Van Nostrand 1962-1965); and in The Handbook of Cosmetic Science and Technology, 1st Ed.. Knowlton & Pearce (Elsevier 1993). can also

10 be used in the present invention.

1. Inorganic matting agent

A further highly preferred component of the compositions of the present invention is an inorganic matting agent such as titanium or zinc oxides. When present, the matting agent is used at a level of no more than 3% to avoid undesirable skin whitening or an

15 unnaturally 'opaque' appearance. Preferred for use herein is titanium dioxide and especially anatase titanium dioxide.

Anatase titanium oxide has a density of about 3.90 g/cm³ and a tetragonal, cubic close packed structure. The refractive index of anatase titanium oxide is 2.55. Anatase titanium dioxide is available from Kobo Products Inc. under the trade name Kobo BTD

20 11S2, from Whittaker, Clark, Daniels, South Plainfield, New Jersey, USA, under the trade name TiO₂ 9729, and from Cardre Inc., South Plainfield, New Jersey, USA, under the trade name Carde 70429.

The preferred matting agents for use herein from the viewpoint of skin feel, skin appearance and emulsion compatibility are coated pigments. The pigments can be treated

25 with compounds such as amino acids such as lysine, silicones, lauroyl, collagen, polyethylene, lecithin and ester oils. The most preferred matting agents are the organosilicon (polysiloxane) treated pigments, for example polysiloxane treated titanium dioxide. Most preferred is polysiloxane treated anatase titanium dioxide.

A highly preferred matting agent is one which has been coated with an organosilicon

30 component selected from a polyorganosiloxane or a silane wherein the coated pigment has a hydrogen potential of less than about 2.0, preferably less than about 1.0, more preferably less than about 0.5 ml, and especially less than about 0.1ml H₂/g of coated pigment. The pigment is incorporated into the oil phase in the compositions herein. The

coatings used can be bonded to the pigment surface by covalent bonding, physical adsorption or adhesion, preferably by covalent bonding to the surface of the pigment. The function of the coatings herein is to hydrophobically-modify the pigments so that they are "wetttable" in an oil phase of oil-in-water emulsions.

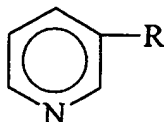
- 5 The total concentration of the inorganic matting agent may be from about 0% to about 3% and is preferably from about 0.1 to about 2.5%, preferably from about 0.25 to 2%

2. Active for chronically regulating skin condition

- In highly preferred embodiments, the compositions of the invention comprise a safe and effective amount of an active for chronically regulating skin condition selected from
- 10 Vitamin B₃ compounds, retinoids, and combinations thereof. The aforementioned compounds may, when used by themselves, give rise to a sticky feel, especially when used at the higher levels. It has been found, however, that this sticky feel can be offset by using the organic particulates of the present invention. The compositions of the present invention preferably comprise from about 0.1% to about 15%, more preferably from
- 15 about 0.3% to about 10%, even more preferably from about 1 to about 5% of the active. Specific examples of these actives include the following.

A. Vitamin B₃ Compounds

As used herein, "vitamin B₃ compound" means a compound having the formula:



- 20 wherein R is - CONH₂ (i.e., niacinamide), - COOH (i.e., nicotinic acid) or - CH₂OH (i.e., nicotinyl alcohol); derivatives thereof; and salts of any of the foregoing.

- Exemplary derivatives of the foregoing vitamin B₃ compounds include nicotinic acid esters, including non-vasodilating esters of nicotinic acid, nicotinyl amino acids, nicotinyl alcohol esters of carboxylic acids, nicotinic acid N-oxide and niacinamide N-oxide. As
- 25 used herein, "non-vasodilating" means that the ester does not commonly yield a visible flushing response after application to the skin in the subject compositions (the majority of the general population would not experience a visible flushing response, although such compounds may cause vasodilation not visible to the naked eye, i.e., the ester is non-rubefacient). Non-vasodilating esters of nicotinic acid include tocopherol nicotinate and
- 30 inositol hexanicotinate; tocopherol nicotinate is preferred.

Other derivatives of the vitamin B₃ compound are derivatives of niacinamide resulting from substitution of one or more of the amide group hydrogens. Examples of derivatives of niacinamide useful herein include nicotinyl amino acids, derived, for example, from the reaction of an activated nicotinic acid compound (e.g., nicotinic acid azide or nicotinyl chloride) with an amino acid, and nicotinyl alcohol esters of organic carboxylic acids (e.g., C1 - C18). Specific examples of such derivatives include nicotinuric acid (C₈H₈N₂O₃) and nicotinyl hydroxamic acid (C₆H₆N₂O₂). Exemplary nicotinyl alcohol esters include nicotinyl alcohol esters of the carboxylic acids salicylic acid, acetic acid, glycolic acid, and palmitic acid and the like. Other examples of vitamin B₃ compounds useful herein are 2-chloronicotinamide, 6-methylnicotinamide, N-methyl-nicotinamide, and niaprazine.

Examples of the above vitamin B₃ compounds are well known in the art and are commercially available from a number of sources, e.g., the Sigma Chemical Company (St. Louis, MO); ICN Biomedicals, Inc. (Irvin, CA) and Aldrich Chemical Company (Milwaukee, WI).

One or more vitamin B₃ compounds may be used herein. Preferred vitamin B₃ compounds are niacinamide and tocopherol nicotinate. Niacinamide is more preferred.

Salts of the vitamin B₃ compound are also useful herein. Useful examples include organic or inorganic salts, such as inorganic salts with anionic inorganic species (e.g. chloride), and organic carboxylic acid salts. These and other salts of the vitamin B₃ compound can be readily prepared by the skilled artisan, for example, as described by W. Wenner, "The Reaction of L-Ascorbic and D-Isoascorbic Acid with Nicotinic Acid and Its Amide", J. Organic Chemistry, VOL. 14, 22-26 (1949), which is incorporated herein by reference. Wenner describes the synthesis of the ascorbic acid salt of niacinamide.

In a preferred embodiment, the ring nitrogen of the vitamin B₃ compound is uncomplexed, or after delivery to the skin becomes uncomplexed. More preferably, the vitamin B₃ compound is essentially uncomplexed. Therefore, if the composition contains the vitamin B₃ compound in a salt or otherwise complexed form, such complex is preferably substantially reversible upon delivery of the composition to the skin. Such complex should be substantially reversible at a pH of from about 5.0 to about 6.0. Such reversibility can be readily determined by one having ordinary skill in the art.

In a preferred embodiment, the vitamin B₃ compound typically contains less than about 50% of the compound in a salt form.

The vitamin B₃ compound may be included as the substantially pure material, or as an extract obtained by suitable physical and/or chemical isolation from natural (e.g., plant) sources. The vitamin B₃ compound is preferably substantially pure, by which is meant substantially free of impurities arising from the original source. Substantially pure compounds can be provided in solution, optionally with an anti-oxidant or other stabiliser.

B. Retinoids

As used herein, "retinoid" includes all natural and/or synthetic analogues of Vitamin A or retinol-like compounds which possess the biological activity of Vitamin A in the skin as well as the geometric isomers and stereoisomers of these compounds. The retinoid is preferably retinol, retinol esters (e.g., C₂ - C₂₂ alkyl esters of retinol, including retinyl palmitate, retinyl acetate, retinyl propionate), retinal, and/or retinoic acid (including all-trans retinoic acid and/or 13-cis-retinoic acid) or its esters such as tocopheryl retinoate. Preferably retinoids other than retinoic acid are used. These compounds are well known in the art and are commercially available from a number of sources, e.g., Sigma Chemical Company (St. Louis, MO), and Boehringer Mannheim (Indianapolis, IN). Other retinoids which are useful herein are described in U.S. Patent Nos. 4,677,120, issued Jun. 30, 1987 to Parish et al.; 4,885,311, issued Dec. 5, 1989 to Parish et al.; 5,049,584, issued Sep. 17, 1991 to Purcell et al.; 5,124,356, issued Jun. 23, 1992 to Purcell et al.; and Reissue 34,075, issued Sep. 22, 1992 to Purcell et al.. Preferred retinoids are the retinol esters such as retinyl palmitate, retinyl acetate, and retinyl propionate. Most preferred are retinyl propionate and retinyl palmitate.

The retinoid may be included as the substantially pure material, or as an extract obtained by suitable physical and/or chemical isolation from natural (e.g., plant) sources. The retinoid is preferably substantially pure.

The compositions of this invention contain a safe and effective amount of the retinoid, such that the resultant composition is safe and effective for regulating skin condition, preferably for regulating visible and/or tactile discontinuities in skin, more preferably for regulating signs of skin ageing, even more preferably for regulating visible and/or tactile discontinuities in skin texture associated with skin ageing. The compositions preferably contain from about 0.005% to about 2%, more preferably 0.01% to about 2%, retinoid. Retinol is most preferably used in an amount of from or about 0.01% to about 0.15%; retinol esters are most preferably used in an amount of from about 0.01% to about 2% (e.g., about 1%); retinoic acids are most preferably used in an amount of from about 0.01% to about 0.25%; tocopheryl retinoate is preferably used in an amount of from about

0.01% to about 2%. The compositions herein can comprise both a retinoid and a Vitamin B₃ compound.

3. Emollients

The topical compositions of the subject invention generally comprise from about 1% to about 50%, preferably from about 3% to about 15% of a dermatologically acceptable emollient. Emollients tend to lubricate the skin, increase the smoothness and suppleness of the skin, prevent or relieve dryness of the skin, and/or protect the skin. Emollients are typically water-immiscible, oily or waxy materials. A wide variety of suitable emollients are known and may be used herein. Sagarin, Cosmetics, Science and Technology, 2nd Edition, Vol. 1, pp. 32-43 (1972), incorporated herein by reference, contains numerous examples of materials suitable as an emollient. Illustrative examples of emollients include:

- i) Straight and branched chain hydrocarbons having from about 7 to about 40 carbon atoms, such as dodecane, squalane, cholesterol, hydrogenated polyisobutylene, isohexadecane and the C₇-C₄₀ isoparaffins, which are C₇-C₄₀ branched hydrocarbons.
- ii) C₁-C₃₀ alcohol esters of C₁-C₃₀ carboxylic acids and of C₂-C₃₀ dicarboxylic acids, e.g. isononyl isononanoate, isopropyl myristate, myristyl propionate, isopropyl stearate, behenyl behenate, dioctyl maleate, diisopropyl adipate, and diisopropyl dilinoleate.
- iii) mono-, di- and tri- glycerides of C₁-C₃₀ carboxylic acids and ethoxylated derivatives thereof, e.g., caprylic/capric triglyceride, PEG-6 caprylic/capric triglyceride.
- iv) alkylene glycol esters of C₁-C₃₀ carboxylic acids, e.g. ethylene glycol mono- and di-esters, and propylene glycol mono- and di- esters of C₁-C₃₀ carboxylic acids e.g., ethylene glycol distearate.
- v) C₁-C₃₀ mono- and poly- esters of sugars and related materials. These esters are derived from a sugar or polyol moiety and one or more carboxylic acid moieties. Depending on the constituent acid and sugar, these esters can be in either liquid or solid form at room temperature. Examples include: glucose tetraoleate, the galactose tetraesters of oleic acid, the sorbitol tetraoleate, sucrose tetraoleate, sucrose pentaoleate, sucrose hexaoleate, sucrose heptaoleate, sucrose octaoleate, sorbitol hexaester in which the carboxylic acid ester moieties are palmitoleate and arachidate in a 1:2 molar ratio, and the octaester of sucrose wherein the esterifying carboxylic acid moieties are laurate, linoleate and behenate in a 1:3:4 molar ratio. Other

materials include cottonseed oil or soybean oil fatty acid esters of sucrose. Other examples of such materials are described in WO 96/16636, incorporated by reference herein. A particularly preferred material is known by the INCI name sucrose polycottonseedate

- 5 vi) Organopolysiloxane oils. The organopolysiloxane oil may be volatile, non-volatile, or a mixture of volatile and non-volatile silicones. The term "non-volatile" as used in this context refers to those silicones that are liquid under ambient conditions and have a flash point (under one atmospheric of pressure) of or greater than about 100° C. The term "volatile" as used in this context refers to all other silicone oils.
- 10 Suitable organopolysiloxanes can be selected from a wide variety of silicones spanning a broad range of volatilities and viscosities. Non-volatile polysiloxanes are preferred. Suitable silicones are disclosed in U.S. Patent No. 5,069,897, issued December 3, 1991, which is incorporated by reference herein in its entirety. Preferred for use herein are organopolysiloxanes selected from the group consisting
- 15 of polyalkylsiloxanes, alkyl substituted dimethicones, dimethiconols, polyalkylaryl siloxanes, and mixtures thereof. More preferred for use herein are polyalkylsiloxanes and cyclomethicones. Preferred among the polyalkylsiloxanes are dimethicones.
- vii) Vegetable oils and hydrogenated vegetable oils. Examples of vegetable oils and hydrogenated vegetable oils include safflower oil, castor oil, coconut oil, cottonseed
- 20 oil, menhaden oil, palm kernel oil, palm oil, peanut oil, soybean oil, rapeseed oil, linseed oil, rice bran oil, pine oil, sesame oil, sunflower seed oil, partially and fully hydrogenated oils from the foregoing sources, and mixtures thereof.
- viii) animal fats and oils, e.g. cod liver oil, lanolin and derivatives thereof such as acetylated lanolin and isopropyl lanolate. Lanolin oil is preferred.
- 25 ix) Also useful are C₄-C₂₀ alkyl ethers of polypropylene glycols, C₁-C₂₀ carboxylic acid esters of polypropylene glycols, and di-C₈-C₃₀ alkyl ethers, examples of which include PPG-14 butyl ether, PPG-15 stearyl ether, dioctyl ether, dodecyl octyl ether, and mixtures thereof.

4. Humectants

- 30 A highly preferred optional component is a humectant, particularly of the polyhydric alcohol-type. Typical polyhydric alcohols include polyalkylene glycols and more preferably alkylene polyols and their derivatives, including propylene glycol, dipropylene glycol, polypropylene glycol, polyethylene glycol and derivatives thereof, sorbitol, hydroxypropyl sorbitol, erythritol, threitol, pentaerythritol, xylitol, glucitol, mannitol, hexylene

glycol, butylene glycol (e.g., 1,3-butylene glycol), hexane triol (e.g., 1,2,6-hexanetriol), glycerine, ethoxylated glycerine and propoxylated glycerine.

Also useful herein are sodium 2-pyrrolidone-5-carboxylate, guanidine; glycolic acid and glycolate salts (e.g. ammonium and quaternary alkyl ammonium); lactic acid and lactate salts (e.g. ammonium and quaternary alkyl ammonium); aloe vera in any of its variety of forms (e.g., aloe vera gel); hyaluronic acid and derivatives thereof (e.g., salt derivatives such as sodium hyaluronate); lactamide monoethanolamine; acetamide monoethanolamine; urea; panthenol; sodium pyroglutamate (NaPCA), water-soluble glyceryl poly(meth)acrylate lubricants (such as Hispagel®) and mixtures thereof.

10 The above listed compounds may be incorporated singly or in combination. Preferred humectants are selected from glycerine, glyceryl polyacrylate, urea, panthenol and mixtures thereof.

5. Emulsifiers/Surfactants

15 Compositions herein preferably contain an emulsifier and/or surfactant, generally to help disperse and suspend the discontinuous phase within the continuous phase. A surfactant may also be useful if the product is intended for skin cleansing. For convenience hereinafter emulsifiers will be referred to under the term 'surfactants', thus 'surfactant(s)' will be used to refer to surface active agents whether used as emulsifiers or for other surfactant purposes such as skin cleansing. Known or conventional surfactants can be used in the composition, provided that the selected agent is chemically and physically compatible with essential components of the composition, and provides the desired characteristics. Suitable surfactants include silicone materials, non-silicone materials, and mixtures thereof.

25 The compositions of the present invention preferably comprise from about 0.05% to about 15% of a surfactant or mixture of surfactants. The exact surfactant or surfactant mixture chosen will depend upon the pH of the composition and the other components present.

Preferred surfactants are nonionic. Among the nonionic surfactants that are useful herein are those that can be broadly defined as condensation products of long chain alcohols, e.g. C₈₋₃₀ alcohols, with sugar or starch polymers, i.e., glycosides. These compounds can be represented by the formula (S)_n-O-R wherein S is a sugar moiety such as glucose, fructose, mannose, and galactose; n is an integer of from about 1 to about 1000, and R is a C₈₋₃₀ alkyl group. Examples of long chain alcohols from which the alkyl group can be derived include decyl alcohol, cetyl alcohol, stearyl alcohol, lauryl alcohol, myristyl alcohol, oleyl alcohol, and the like. Preferred examples of these surfactants include those

wherein S is a glucose moiety, R is a C₈₋₂₀ alkyl group, and n is an integer of from about 1 to about 9. Commercially available examples of these surfactants include decyl polyglucoside (available as APG 325 CS from Henkel) and lauryl polyglucoside (available as APG 600 CS and 625 CS from Henkel).

- 5 Other useful nonionic surfactants include the condensation products of alkylene oxides with fatty acids (i.e. alkylene oxide esters of fatty acids). These materials have the general formula $\text{RCO}(\text{X})_n\text{OH}$ wherein R is a C₁₀₋₃₀ alkyl group, X is $-\text{OCH}_2\text{CH}_2-$ (i.e. derived from ethylene glycol or oxide) or $-\text{OCH}_2\text{CHCH}_3-$ (i.e. derived from propylene glycol or oxide), and n is an integer from about 6 to about 200. Other nonionic
- 10 surfactants are the condensation products of alkylene oxides with 2 moles of fatty acids (i.e. alkylene oxide diesters of fatty acids). These materials have the general formula $\text{RCO}(\text{X})_n\text{OOCR}$ wherein R is a C₁₀₋₃₀ alkyl group, X is $-\text{OCH}_2\text{CH}_2-$ (i.e. derived from ethylene glycol or oxide) or $-\text{OCH}_2\text{CHCH}_3-$ (i.e. derived from propylene glycol or oxide), and n is an integer from about 6 to about 100. Other nonionic surfactants are the
- 15 condensation products of alkylene oxides with fatty alcohols (i.e. alkylene oxide ethers of fatty alcohols). These materials have the general formula $\text{R}(\text{X})_n\text{OR}'$ wherein R is a C₁₀₋₃₀ alkyl group, X is $-\text{OCH}_2\text{CH}_2-$ (i.e. derived from ethylene glycol or oxide) or $-\text{OCH}_2\text{CHCH}_3-$ (i.e. derived from propylene glycol or oxide), and n is an integer from about 6 to about 100 and R' is H or a C₁₀₋₃₀ alkyl group. Still other nonionic surfactants
- 20 are the condensation products of alkylene oxides with both fatty acids and fatty alcohols [i.e. wherein the polyalkylene oxide portion is esterified on one end with a fatty acid and etherified (i.e. connected via an ether linkage) on the other end with a fatty alcohol]. These materials have the general formula $\text{RCO}(\text{X})_n\text{OR}'$ wherein R and R' are C₁₀₋₃₀ alkyl groups, X is $-\text{OCH}_2\text{CH}_2-$ (i.e. derived from ethylene glycol or oxide) or
- 25 $-\text{OCH}_2\text{CHCH}_3-$ (derived from propylene glycol or oxide), and n is an integer from about 6 to about 100, examples of which include ceteth-6, ceteth-10, ceteth-12, cetareth-6, cetareth-10, cetareth-12, steareth-6, steareth-10, steareth-12, PEG-6 stearate, PEG-10 stearate, PEG-100 stearate, PEG-12 stearate, PEG-20 glyceryl stearate, PEG-80 glyceryl tallowate, PEG-10 glyceryl stearate, PEG-30 glyceryl cocoate, PEG-80 glyceryl cocoate,
- 30 PEG-200 glyceryl tallowate, PEG-8 dilaurate, PEG-10 distearate, and mixtures thereof.

Still other useful nonionic surfactants include polyhydroxy fatty acid amide surfactants, which are described in more detail in WO 98/04241.

- Preferred among the nonionic surfactants are those selected from the group consisting of steareth-2, steareth-21, cetareth-20, cetareth-12, sucrose cocoate, steareth-100, PEG-
- 35 100 stearate, and mixtures thereof.

Other nonionic surfactants suitable for use herein include sugar esters and polyesters, alkoxylated sugar esters and polyesters, C₁-C₃₀ fatty acid esters of C₁-C₃₀ fatty alcohols, alkoxylated derivatives of C₁-C₃₀ fatty acid esters of C₁-C₃₀ fatty alcohols, alkoxylated ethers of C₁-C₃₀ fatty alcohols, polyglyceryl esters of C₁-C₃₀ fatty acids, C₁-C₃₀ esters of polyols, C₁-C₃₀ ethers of polyols, alkyl phosphates, polyoxyalkylene fatty ether phosphates, fatty acid amides, acyl lactylates, and mixtures thereof. Examples of these non-silicon-containing surfactants include: polysorbate 20, polyethylene glycol 5 soya sterol, steareth-20, cetareth-20, PPG-2 methyl glucose ether distearate, ceteth-10, polysorbate 80, polysorbate 60, glyceryl stearate, sorbitan monolaurate, polyoxyethylene 10 4 lauryl ether sodium stearate, polyglyceryl-4 isostearate, hexyl laurate, PPG-2 methyl glucose ether distearate, PEG-100 stearate, and mixtures thereof.

Another emulsifier useful herein are fatty acid ester blends based on a mixture of sorbitan or sorbitol fatty acid ester and sucrose fatty acid ester, the fatty acid in each instance being preferably C₈-C₂₄, more preferably C₁₀-C₂₀. The preferred fatty acid ester 15 emulsifier is a blend of sorbitan or sorbitol C₁₆-C₂₀ fatty acid ester with sucrose C₁₀-C₁₆ fatty acid ester, especially sorbitan stearate and sucrose cocoate. This is commercially available from ICI under the trade name Arlatone 2121.

The hydrophilic surfactants useful herein can alternatively or additionally include any of a wide variety of cationic, anionic, zwitterionic, and amphoteric surfactants such as are 20 known in the art. See, e.g., McCutcheon's, Detergents and Emulsifiers, North American Edition (1986), published by Allured Publishing Corporation; U.S. Patent No. 5,011,681 to Ciotti et al., issued April 30, 1991; U.S. Patent No. 4,421,769 to Dixon et al., issued December 20, 1983; and U.S. Patent No. 3,755,560 to Dickert et al., issued August 28, 1973.

A wide variety of anionic surfactants are also useful herein. See, e.g., U.S. Patent No. 3,929,678, to Laughlin et al., issued December 30, 1975. Exemplary anionic surfactants include the alkoyl isethionates (e.g., C₁₂ - C₃₀), alkyl and alkyl ether sulfates and salts thereof, alkyl and alkyl ether phosphates and salts thereof, alkyl methyl taurates (e.g., C₁₂ - C₃₀), and soaps (e.g., alkali metal salts, e.g., sodium or potassium salts) of fatty 30 acids.

Amphoteric and zwitterionic surfactants are also useful herein. Examples of amphoteric and zwitterionic surfactants which can be used in the compositions of the present invention are those which are broadly described as derivatives of aliphatic secondary and tertiary amines in which the aliphatic radical can be straight or branched chain and 35 wherein one of the aliphatic substituents contains from about 8 to about 22 carbon atoms

(preferably C₈ - C₁₈) and one contains an anionic water solubilising group, e.g., carboxy, sulfonate, sulfate, phosphate, or phosphonate. Examples are alkyl imino acetates, and iminodialkanoates and aminoalkanoates, imidazolinium and ammonium derivatives. Other suitable amphoteric and zwitterionic surfactants are those selected from the group consisting of betaines, sultaines, hydroxysultaines, alkyl sarcosinates (e.g., C₁₂ - C₃₀), and alkanoyl sarcosinates.

Preferred emulsions of the present invention include a silicone containing emulsifier or surfactant. A wide variety of silicone emulsifiers are useful herein. These silicone emulsifiers are typically organically modified organopolysiloxanes, also known to those skilled in the art as silicone surfactants. Useful silicone emulsifiers include dimethicone copolyols. These materials are polydimethyl siloxanes which have been modified to include polyether side chains such as polyethylene oxide chains, polypropylene oxide chains, mixtures of these chains, and polyether chains containing moieties derived from both ethylene oxide and propylene oxide. Other examples include alkyl-modified dimethicone copolyols, i.e., compounds which contain C₂-C₃₀ pendant side chains. Still other useful dimethicone copolyols include materials having various cationic, anionic, amphoteric, and zwitterionic pendant moieties.

6. Thickening Agent (including thickeners and gelling agents)

The compositions of the present invention can also comprise a thickening agent, preferably from about 0.1% to about 5%, more preferably from about 0.1% to about 3%, and most preferably from about 0.25% to about 2%, of a thickening agent.

Suitable thickening agents include cellulose and derivatives such as cellulose, carboxymethyl hydroxyethylcellulose, cellulose acetate propionate carboxylate, hydroxyethylcellulose, hydroxyethyl ethylcellulose, hydroxypropylcellulose, hydroxypropyl methylcellulose, methyl hydroxyethylcellulose, microcrystalline cellulose, sodium cellulose sulfate, and mixtures thereof. Also useful herein are the alkyl substituted celluloses. In these polymers, the hydroxy groups of the cellulose polymer is hydroxyalkylated (preferably hydroxyethylated or hydroxypropylated) to form a hydroxyalkylated cellulose which is then further modified with a C₁₀-C₃₀ straight chain or branched chain alkyl group through an ether linkage. Typically these polymers are ethers of C₁₀-C₃₀ straight or branched chain alcohols with hydroxyalkylcelluloses. Examples of alkyl groups useful herein include those selected from the group consisting of stearyl, isostearyl, lauryl, myristyl, cetyl, isocetyl, cocoyl (i.e. alkyl groups derived from the alcohols of coconut oil), palmityl, oleyl, linoleyl, linolenyl, ricinoleyl, behenyl, and mixtures thereof.

Other useful thickeners include acacia, agar, algin, alginic acid, ammonium alginate, amylopectin, calcium alginate, calcium carrageenan, carnitine, carrageenan, dextrin, gelatin, gellan gum, guar gum, guar hydroxypropyltrimonium chloride, hectorite, hyaluroinic acid, hydrated silica, hydroxypropyl chitosan, hydroxypropyl guar, karaya gum, kelp, locust bean gum, natto gum, potassium alginate, potassium carrageenan, propylene glycol alginate, sclerotium gum, sodium carboxymethyl dextran, sodium carrageenan, tragacanth gum, xanthan gum, and mixtures thereof. Also useful are acrylic acid/ethyl acrylate copolymers and the carboxyvinyl polymers sold by the B.F. Goodrich Company under the trade mark of Carbopol resins. Suitable Carbopol resins are described in WO98/22085.

Preferred compositions of the present invention include a thickening agent selected from carboxylic acid polymers, crosslinked polyacrylates, polyacrylamides, xanthan gum and mixtures thereof, more preferably selected polyacrylamide polymers, xanthan gum and mixtures thereof. Preferred polyacrylamides are predispersed in a water-immiscible solvent such as mineral oil and the like, containing a surfactant (HLB from about 7 to about 10) which helps to facilitate water dispersibility of the polyacrylamide. Most preferred for use herein is the non-ionic polymer under the CTFA designation: polyacrylamide and isoparaffin and laureth-7, available under the trade name Sepigel 305 from Seppic Corporation.

7. Anti-Inflammatory Agents

A safe and effective amount of an anti-inflammatory agent may be added to the compositions of the subject invention, preferably from about 0.1% to about 5%, more preferably from about 0.1% to about 2%, of the composition. The anti-inflammatory agent enhances the skin appearance benefits of the present invention, e.g., such agents contribute to a more uniform and acceptable skin tone or colour. The exact amount of anti-inflammatory agent to be used in the compositions will depend on the particular anti-inflammatory agent utilised since such agents vary widely in potency.

Anti-inflammatory agents useful herein include steroids such as hydrocortisone; non-steroidal anti-inflammatory drugs (NSAIDS) such as ibuprofen; panthenol and ether and ester derivatives thereof e.g. panthenol ethyl ether, panthenyl triacetate; pantothenic acid and salt and ester derivatives thereof, especially calcium pantothenate; aloe vera, bisabolol, allantoin and compounds of the liquorice (the plant genus/species Glycyrrhiza glabra) family, including glycyrrhetic acid, glycyrrhizic acid, and derivatives thereof e.g. salts such as ammonium glycyrrhizinate and esters such as stearyl glycyrrhetinate. Particularly preferred herein are panthenol, pantothenic acid and their ether, ester or salt

derivatives and mixtures thereof; suitable levels are from about 0.1 to about 5%, preferably from about 0.5 to about 3%. Panthenol is especially preferred.

8. Sunscreens and Sunblocks

5 Compositions of the subject invention can contain a sunscreen. Suitable sunscreens can be organic or inorganic. Especially preferred organic sunscreens include butylmethoxy-
dibenzoylmethane, 2-ethylhexyl-p-methoxycinnamate, phenyl benzimidazole sulfonic
10 acid, and octocrylene. Inorganic sunscreens include zinc oxide and titanium dioxide. Amounts of the sunscreen used are typically from about 1% to about 20%, more typically from about 2% to about 10%. Exact amounts will vary depending upon the sunscreen
15 chosen and the desired Sun Protection Factor (SPF). An agent may also be added to any of the compositions useful in the subject invention to improve the skin substantivity of those compositions, particularly to enhance their resistance to being washed off by water, or rubbed off. A preferred agent which will provide this benefit is a copolymer of ethylene and acrylic acid. Compositions comprising this copolymer are disclosed in U.S.
Patent 4,663,157, Brock, issued May 5, 1987, which is incorporated herein by reference.

9. Anti-Oxidants/Radical Scavengers

Compositions of the subject invention can further include an anti-oxidant/radical
20 scavenger. The anti-oxidant/radical scavenger is especially useful for providing protection against UV radiation which can cause increased scaling or texture changes in the stratum corneum and against other environmental agents which can cause skin damage. Suitable amounts are from about 0.1% to about 10%, more preferably from about 1% to about 5%, of the composition.

Anti-oxidants/radical scavengers such as ascorbic acid (vitamin C) and its salts, ascorbyl
25 esters of fatty acids, ascorbic acid derivatives (e.g., magnesium ascorbyl phosphate), β -carotene, tocopherol (vitamin E), tocopherol sorbate, tocopherol acetate, other esters of tocopherol, butylated hydroxy benzoic acids and their salts, gallic acid and its alkyl esters, especially propyl gallate, uric acid and its salts and alkyl esters, sorbic acid and its salts, amines (e.g., N,N-diethylhydroxylamine, amino-guanidine), sulfhydryl compounds (e.g., glutathione), dihydroxy fumaric acid and its salts, bioflavonoids, lysine, methionine,
30 proline, superoxide dismutase, silymarin, tea extracts, grape skin/seed extracts, melanin, and rosemary extracts may be used. Preferred anti-oxidants/radical scavengers are selected from tocopherol acetate, tocopherol sorbate and other esters of tocopherol, more preferably tocopherol acetate.

10. Chelators

The inclusion of a chelating agent is especially useful for providing protection against UV radiation which can contribute to excessive scaling or skin texture changes and against other environmental agents which can cause skin damage. A suitable amount is from
5 about 0.01% to about 1%, more preferably from about 0.05% to about 0.5%, of the composition. Exemplary chelators that are useful herein are disclosed in U.S. Patent No. 5,487,884, incorporated herein by reference. Preferred chelators useful in compositions of the subject invention are ethylenediamine tetraacetic acid (EDTA), furildioxime and derivatives thereof.

10 11. Desquamation Agents/Exfoliants

A safe and effective amount of a desquamation agent may be added to the compositions of the subject invention, more preferably from about 0.1% to about 10%, even more preferably from about 0.2% to about 5%, also preferably from about 0.5% to about 4% of the composition. Desquamation agents enhance the skin appearance benefits of the
15 present invention. For example, the desquamation agents tend to improve the texture of the skin (e.g., smoothness). A variety of desquamation agents are known in the art and are suitable for use herein, including organic hydroxy acids such as salicylic acid, glycolic acid, lactic acid, 5-octanoyl salicylic acid, hydroxyoctanoic acid, hydroxycaprylic acid, and lanolin fatty acids. One desquamation system that is suitable for use herein
20 comprises sulphydryl compounds and zwitterionic surfactants and is described in WO 96/01101, incorporated herein by reference. Another desquamation system that is suitable for use herein comprises salicylic acid and zwitterionic surfactants and is described in WO 95/13048. Salicylic acid is preferred.

12. Skin Lightening Agents

25 The compositions of the present invention can also comprise a skin lightening agent. When used, the compositions preferably comprise from about 0.1% to about 10%, more preferably from about 0.2% to about 5%, also preferably from about 0.5% to about 2%, of a skin lightening agent. Suitable skin lightening agents include those known in the art, including kojic acid, arbutin, ascorbic acid and derivatives thereof, e.g., magnesium
30 ascorbyl phosphate. Further skin lightening agents suitable for use herein also include those described in WO 95/34280 and WO 95/23780.

Preparation of Compositions

The compositions of the present invention are generally prepared by conventional methods such as are known in the art of making topical compositions. Such methods

typically involve mixing of the ingredients in one or more steps to a relatively uniform state, with or without heating, cooling, application of vacuum, and the like.

Methods for Regulating Skin Condition

5 The compositions of the present invention are useful for regulating mammalian skin condition, especially human skin, more especially that of the hands or other non-facial parts of the body, including regulating visible and/or tactile discontinuities in skin, e.g., visible and/or tactile discontinuities in skin texture, more especially discontinuities associated with skin ageing. Regulating skin condition involves topically applying to the skin a safe and effective amount of a composition of the present invention. The amount
10 of the composition which is applied, the frequency of application and the period of use will vary widely depending upon the active levels of a given composition and the level of regulation desired, e.g., in light of the level of skin ageing present in the subject and the rate of further skin ageing.

A wide range of quantities of the compositions of the present invention can be employed
15 to provide a skin appearance and/or feel benefit. Quantities of the present compositions which are typically applied per application are, in mg composition/cm² skin, from about 0.1 mg/cm² to about 10 mg/cm². A particularly useful application amount is about 2 mg/cm². Typically applications would be on the order of about once per day, however application rates can vary from about once per week up to about three times per day or
20 more.

The compositions of this invention provide a visible improvement in skin condition essentially immediately following application of the composition to the skin. Such immediate improvement involves coverage or masking of skin imperfections such as textural discontinuities (including those associated with skin ageing, such as enlarged
25 pores), and/or providing a more even skin tone or colour.

Compositions of the invention which comprise an active for chronically regulating skin also provide visible improvements in skin condition following chronic topical application of the composition. "Chronic topical application" and the like involves continued topical application of the composition over an extended period during the subject's lifetime,
30 preferably for a period of at least about one week, more preferably for a period of at least about one month, even more preferably for at least about three months, even more preferably for at least about six months, and more preferably still for at least about one year. Chronic regulation of skin condition involves improvement of skin condition following multiple topical applications of the composition to the skin. Typically
35 applications would be on the order of about once per day over such extended periods,

however application rates can vary from about once per week up to about three times per day or more.

Regulating skin condition is preferably practised by applying a composition in the form of a skin lotion, cream, cosmetic, or the like which is intended to be left on the skin for an extended period for some aesthetic, prophylactic, therapeutic or other benefit (i.e., a "leave-on" composition). As used herein, "leave-on" compositions exclude rinse-off skin cleansing products. After applying the composition to the skin, the leave-on composition is preferably left on the skin for a period of at least about 15 minutes, more preferably at least about 30 minutes, even more preferably at least about 1 hour, most preferably for at least several hours, e.g., up to about 12 hours.

Examples

The following examples further describe and demonstrate embodiments within the scope of the present invention. They are given for the purpose of illustration and are not to be construed as limitations of the present invention. Where applicable, ingredients are given in CTFA name. All of the examples are oil-in-water emulsions prepared using conventional formulating techniques. The coated titanium dioxide is incorporated via the oil phase ingredients whereas the nylon particles and interference pigment are added via the aqueous phase.

<u>Example</u>	1	2	3
<u>Ingredient</u>	% w/w	% w/w	% w/w
Niacinamide	2.0	5.0	6.0
Retinyl propionate			0.2
Nylon-12 ¹	1.0	3.0	0.5
Titanium Dioxide (and) Mica ²	0.5	1.0	1.5
Polyacrylamide & isoparaffin & laureth-7	2.5	2.0	1.0
Xanthan gum			0.3
Titanium dioxide ³	1.0	0.5	0.3
Glycerine	7.0	5.0	3.0
Urea			2.0
Panthenol	1.0	0.5	3.0
Salicylic acid		1.5	
Allantoin	0.2	0.1	0.05
Aloe vera gel	0.01		0.05

Tocopheryl acetate	0.5		0.05
Cetyl alcohol	2.0	1.0	1.25
Stearyl alcohol	2.0	1.0	1.25
Cyclomethicone & dimethiconol	0.75	1.5	0.50
Steareth-21	0.6	0.4	0.3
Steareth-2	0.1	0.08	0.03
Sorbitan stearate & sucrose cocoate	1.5		
Isohexadecane	3.0	5.0	7.0
PPG-15 stearyl ether	3.0	5.0	4.00
Sucrose polycottonseedate		3.0	
Dimethicone (350 mm ² s ⁻¹)	0.5		1.0
Disodium EDTA	0.02	0.01	0.05
Methyl paraben	0.1	0.15	0.2
Benzyl Alcohol	0.5	0.2	1.0
Phenoxetol	0.5		1.0
Perfume	qs.	qs.	qs.
De-ionised water	to 100%	to 100%	to 100%

Example	4	5	6
<u>Ingredient</u>	<u>% w/w</u>	<u>% w/w</u>	<u>% w/w</u>
Niacinamide	2.0	4.0	2.0
Nylon-12 ¹	1.0	3.0	0.5
Titanium Dioxide (and) Mica ²	0.5	1.0	1.5
Urea		1.0	
Titanium Dioxide	0.5		
Allantoin			0.10
Glyceryl monostearate & PEG-100 stearate		2.0	
Sorbitan stearate & sucrose cocoate			5.0
Cetearyl alcohol	1.0		3.0
Cetyl acetate & acetylated lanolin alcohol	3.0	3.0	2.0
Dimethicone & dimethiconol	2.0	2.0	2.0
Glycerine	7.0	7.0	9.0
Glyceryl stearate	1.5		

DMDM Hydantoin & iodopropynyl-butylcarbamate	0.1	0.1	0.1
Lanolin oil	3.0	3.0	2.0
Arachidyl alcohol & behenyl alcohol & arachidylglucoside		3.0	
Panthenol	5.0		2.0
PEG-30 glyceryl stearate	2.4		
Phenoxyethanol	0.4	0.4	
Polyglyceryl-2-sesquiisostearate	0.8		
Isopropyl isostearate	1.0	0.5	2.0
Propylene glycol monostearate	1.5		
Parabens	0.25	0.3	
Sucrose polycottonseedate	2.0	1.0	1.0
Polyacrylamide & isoparaffin & laureth-7	1.0	0.7	1.0
Tetrasodium EDTA	0.1	0.1	0.1
Titanium dioxide ³			0.15
De-ionised water	to 100%	to 100%	to 100%

¹ Orgasol® 2002 D NAT COS.

² A green interference pigment.

³ Silicone coated anatase form.

5 On application to a subject's hands, at the rate of 2 mg /cm² skin, an essentially immediate visual improvement in skin appearance is provided e.g., reduced visibility of pores and a more even skin tone. Continuation of application at the same rate once or twice daily for a period of 3-6 months improves skin surface texture, including diminishing fine lines and wrinkles, in addition to the essentially immediate improvements in appearance.

CLAIMS

1. A topical composition comprising:
 - 5 a) from 0.1% to 10% of a organic particulate material having a refractive index of from 1.3 to 1.7, the particulate material being dispersed in the composition and having a volume average particle size in the range of from about 10 to about 30 μm ;
 - b) from about 0.05% to about 2.5% by weight of a green, platelet-type interference pigment material having a TiO_2 layer thickness of from about 120nm to about 160nm or a whole number multiple thereof;
 - 10 c) from about 0% to about 3% of an inorganic matting agent; and
 - d) a dermatologically acceptable, topical carrier.
2. The composition of Claim 1 wherein the inorganic matting agent is present at a level of from about 0.1 to about 2.5%, preferably from about 0.25 to 2%.
3. The composition of Claim 1 or Claim 2 wherein the inorganic matting agent is a
15 silicone coated titanium dioxide.
4. The composition of any preceding claim wherein the inorganic matting agent is a titanium dioxide in its anatase form.
5. The composition of any preceding claim wherein the composition further comprises a safe and effective amount of an active effective for chronically regulating skin
20 condition selected from Vitamin B3 compounds, retinoids, and mixtures thereof.
6. The composition of Claim 5 wherein the active is selected from niacinamide, retinol esters, and mixtures thereof.
7. The composition of Claim 6 wherein the active is niacinamide.
8. The composition of any of Claims 5 to 7 which comprises from 0.1% to 15%,
25 preferably from 0.3% to 10%, more preferably from 1 to 5% of the active.
9. The composition of any preceding claim wherein the particles of the organic particulate material are porous particles.
10. The composition of any preceding claim wherein the particles of the organic
30 particulate material are porous, nylon particles having a volume average particle size in the range of from about 15 to about 25 μm .

11. The composition of any preceding claim which comprises from 0.3% to 5%, preferably from 0.5% to 2% of the organic particulate material.
12. The composition of any preceding claim wherein the organic particulate material has a refractive index of from 1.35 to 1.6.
- 5 13. The composition of any preceding claim which is an oil-in-water emulsion.
14. A cosmetic method of regulating skin condition comprising topically applying the composition of any preceding claim.
15. A method of providing a more even skin tone comprising topically applying the composition of any preceding claim.
- 10 16. A method according to Claim 14 or Claim 15 wherein the composition is applied to non-facial parts of the body.
17. A method according to Claim 16 wherein the composition is applied to the hands.



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁷ : A61K 7/00, 7/48	A3	(11) International Publication Number: WO 00/51551 (43) International Publication Date: 8 September 2000 (08.09.00)
(21) International Application Number: PCT/US99/04748 (22) International Filing Date: 3 March 1999 (03.03.99) (71) Applicant (for all designated States except US): THE PROCTER & GAMBLE COMPANY [US/US]; One Procter & Gamble Plaza, Cincinnati, OH 45202 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): CROOK, Teresa, Barbara [GB/GB]; 65 Bloomsbury Way, Hawley Hill, Camberley, Surrey KT13 8XF (GB). DATE, Robert, Francis [GB/GB]; The Croft, Fernhill Park, Hook Heath, Woking, Surrey GU22 0DL (GB). BECK, Petra, Helga [DE/GB]; 15 Longlands Way, Heatherside, Camberley, Surrey GU15 1RH (GB). WEBSTER, Jonathan, David [GB/GB]; 27A, Fortescue Road, Weybridge, Surrey KT13 8XF (GB). DUFFY, Lisa, Catherine [IE/GB]; 3 The Brambles, Shortwood Common, Staines, Middlesex TW18 4NS (GB). (74) Agents: REED, T., David et al.; The Procter & Gamble Company, 5299 Spring Grove Avenue, Cincinnati, OH 45217-1087 (US).		(81) Designated States: AL, AM, AT, AT (Utility model), AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, CZ (Utility model), DE, DE (Utility model), DK, DK (Utility model), EE, EE (Utility model), ES, FI, FI (Utility model), GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK (Utility model), SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> (88) Date of publication of the international search report: 2 November 2000 (02.11.00)
(54) Title: SKIN CARE COMPOSITIONS (57) Abstract <p>The present invention relates to topical compositions for effecting immediate improvements in the appearance and feel of skin, in addition to providing chronic improvements in skin appearance. The compositions comprise: a) from 0.1 % to 10 % of an organic particulate material having a refractive index of from 1.3 to 1.7, the particulate material being dispersed in the composition and having a volume average particle size in the range of from about 10 to about 30 μm; b) from about 0.05 % to about 2.5 % by weight of a green, platelet-type interference pigment material having a TiO_2 layer thickness of from about 120 nm to about 160 nm or a whole number multiple thereof; c) from about 0 % to about 3 % of an inorganic matting agent; and d) a dermatologically acceptable, topical carrier. Additional chronic improvements in skin conditions are effected by including a chronic active selected from Vitamin B3 compounds, retinoids, and mixtures thereof. Particularly preferred embodiments are oil in water emulsions for non-facial application.</p>		

INTERNATIONAL SEARCH REPORT

International Application No.
PCT/US 99/04748

A. CLASSIFICATION OF SUBJECT MATTER

A61K7/00, A61K7/48

According to International Patent Classification (IPC) or to both national classification and IPC 7

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

A61K7/00

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 5486354 A (DEFOSSEZ ET AL.) 23 January 1996, column 3, lines 32-39, 45-49, column 3, line 64 - column 4, line 6, examples, claims. --	1, 2, 4, 9-15
X	US 5676934 A (SIEGFRIED) 14 October 1997, example 1, claims. --	1, 2, 4, 9-17
X, Y	WO 98/47470 A (THE PROCTER & GAMBLE COMPANY) 29 October 1998, pages 20, 21, page 24, line 23 - page 25, line 3, examples, claims. --	1-17

☒ Further documents are listed in the continuation of box C.☐ Patent family members are listed in annex.

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Date of the actual completion of the international search
27 April 2000

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 99/04748

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 14-17
because they relate to subject matter not required to be searched by this Authority, namely:

Remark: Although claims 14-17 are partially directed to a method of treatment of the human body by surgery or therapy (PCT, Rule 39.1(iv)) the search has been carried out and based on the alleged effects of the component/compositions.

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claims Nos.: -
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest.

☐ No protest accompanied the payment of additional search fees.

ANHANG

Zum internationalen Recherchenbericht über die internationale Patentanmeldung Nr.

ANNEX

To the International Search Report to the international Patent Application No.

ANNEXE

Au rapport de recherche international relatif à la demande de brevet international n°

PCT/US 99/04748 SAE 270912

In diesem Anhang sind die Mitglieder der Patentfamilien der im obengenannten internationalen Recherchenbericht angeführten Patentdokumente angegeben. Diese Angaben dienen nur zur Unterrichtung und erfolgen ohne Gewähr.

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Im Recherchenbericht angeführte Patentdokumente Patent document cited in search report Document de brevet cité dans le rapport de recherche	Datum der Veröffentlichung Publication date Date de publication	Mitglied(er) der Patentfamilie Patent family member(s) Membre(s) de la famille de brevets	Datum der Veröffentlichung Publication date Date de publication
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		ZA A 9804255	25-11-1998
		GB A0 9624305	08-01-1997

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 99/04748

C(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	<p>WO 98/22085 A (THE PROCTER & GAMBLE COMPANY) 28 May 1998, page 31, example 3. -----</p>	1-17

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